REMARKS

Election

In response to the Office Action of January 30 2002, applicants hereby elected the compound of Ex. 49., i.e., 6-[[1-(4-methylphenyl)-2-phenyl-1H-benzimdazol-6-yl]oxy] hexanoic isopropyl ester. Applicants assume that further prosecution will be performed in accordance MPEP §809.02(c).

Amendments

The claims are amended to employ language in accordance with conventional U.S. practice and to delete superfluous language. New claims 25 and 26 are directed to the elected species.

Attached hereto is a marked-up version of the changes made to the specification and claims by the current amendment. The attached pages are captioned "Version with Markings to Show Changes Made".

Respectfully submitted,

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VERSION WITH MARKINGS TO SHOW CHANGES MADE

--1. (Amended) A benzimdazole compound according to formula I

$$R^3$$
 N
 R^2
 R^2
 R^1

in which

 R^1 means a monocyclic or bicyclic C_{6-12} aryl group or a monocyclic or bicyclic 5-to 10-membered heteroaryl group with 1-4 heteroatoms selected from the group that consists of N, S or O, whereby the mentioned wherein said aryl or heteroaryl group ean be is unsubstituted or is substituted with up to three of the following substituents, independently of one another:

F, Cl, Br, I,

C(NH)NH₂, C(NH)NHR⁴, C(NH)NR⁴R⁴, C(NR⁴)NH₂, C(NR⁴)NHR⁴,

 $C(NR^4)NR^4R^4$,

XOH, XOR4, XOCOR4, XOCONHR4, XOCOOR4,

XCOR⁴, XC(NOH)R⁴, XC(NOR⁴)R⁴, XC(NO(COR⁴))R⁴

XCN, XCOOH, XCOOR⁴, XCONH₂, XCONR⁴R⁴, XCONHR⁴, XCONHOH,

XCONHOR⁴, XCOSR⁴

XSR⁴, XSOR⁴, XSO₂R⁴,

SO₂NH₂, SO₂NHR⁴, SO₂NR⁴R⁴,

NO₂, XNH₂, XNHR⁴, XNR⁴R⁴, XNHSO₂R⁴, XN(SO₂R⁴)SO₂R⁴,

XNR⁴SO₂R⁴,

XNHCOR⁴, XNHCOOR⁴, XNHCONHR⁴, tetrahydro-2,5-dioxopyrrol-1-yl, 2,5-dihydro-2,5-dioxopyrrol-1-yl, 2,7-dihydro-2,7-dioxoisoindol-1-yl, and R⁴,

whereby two wherein two of said R¹ substituents at R¹, if they are in ortho-position to one another, can be linked to one another in such a way that they jointly form methanediylbisoxy, ethane-1,2-diylbisoxy, propane-1,3-diyl, butane-1,4-diyl,or butane-1,4-diyl;

 R^2 means a monocyclic or bicyclic C_{6-10} aryl group or a monocyclic or bicyclic 5-to 10-membered heteroaryl group with 1-4 heteroatoms selected from the group that consists of N, S or O, whereby the mentioned wherein said aryl or heteroaryl group can be substituted is unsubstituted or is substituted with up to three of the following substituents, independently of one another:

F, Cl, Br, I,

XOH, XOR4, XOCOR4, XOCONHR4, XOCOOR4,

XCOR⁴, XC(NOH)R⁴, XC(NOR⁴)R⁴, XC(NO(COR⁴))R⁴,

XCOOH, XCOOR⁴, XCONH₂, XCONHR⁴, XCONR⁴R⁴, XCONHOH,

XCONHOR⁴, XCOSR⁴,

XSR⁴, XSOR⁴, XSO₂R⁴, SO₂NH₂, SO₂NHR⁴, SO₂NR⁴R⁴,

NO₂, XNHR⁴, XNR⁴R^{4'}, XNHSO₂R⁴, XN(SO₂R⁴)SO₂R^{4'}, XNR⁴SO₂R^{4'}, tetrahydro-2,5-dioxopyrrol-1-yl, 2,5-dihydro-2,5-dioxopyrrol-1-yl, 2,7-dihydro-2,7-dioxoisoindol-1-yl, and R⁴,

whereby two wherein two of said R^2 substituents at R^3 , if they are in ortho-position to one another, can be linked to one another in such a way that they jointly form methanediyl-bisoxy, ethane-1,2-diylbisoxy, propane-1,3-diyl, butane-1,4-diyl, or butane-1,4-diyl;

R³ means one or two substituents, which form, substituents which are independently of one another:

hydrogen,

F, Cl, Br, I,

XOH, XOR⁴, XOCOR⁴, XOCONHR⁴, XOCOOR⁴,

 $XCOR^4$, $XC(NOH)R^4$, $XC(NOR^4)R^{4'}$, $XC(NO(COR^4))R^{4'}$,

XCN, XCOOH, XCOOR⁴, XCONH₂, XCONHR⁴, XCONR⁴R⁴, XCONHOH.

XCONHOR⁴, XCOSR⁴, XSR⁴, XSOR⁴, XSO₂R⁴, SO₂NH₂, SO₂NHR⁴,

SO₂NR⁴R⁴',

NO₂, XNH₂, XNHR⁴, XNR⁴R⁴,

 $XNHSO_2R^4$, $XNR^4SO_2R^4$, $XN(SO_2R^4)(SO_2R^4)$,

XNHCOR⁴, XNHCOOR⁴, XNHCONHR⁴, tetrahydro-2,5-dioxopyrrol-1-yl, 2,5-dihydro-2,5-dioxopyrrol-1-yl, 2,7-dihydro-2,7-dioxoisoindol-1-yl, or R³-can-be R⁴,

wherebywherein two substituents at R3, if they are in ortho-position to one another,

can be linked to one another in such a way that they jointly form methanediylbisoxy, ethane-1,2-diylbisoxy, propane-1,3-diyl, butane-1,4-diyl;

R⁴ and R^{4'}, independently of one another, mean C₁₋₄ perfluoroalkyl, C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkinyl, C₃₋₇ cycloalkyl, (C₁₋₃ alkyl-C₃₋₇ cycloalkyl), C₁₋₃ alkyl-C₆₋₁₀ aryl, C₁₋₃ alkyl-5 to 10-membered heteroaryl, with 1-4 N, S or O atoms, or C₆₋₁₀ aryl or 5- to 10-membered heteroaryl with 1-4 N, S or O atoms, whereby the wherein aryl and heteroaryl groups can be are unsubstituted or substituted with by one or two substituents from the group that consists of selected from F, Cl, Br, CH₃, C₂H₅, NO₂, OCH₃, OC₂H₅, CF₃, C₂F₅-or else and C₂F₅, or can carry an annelated methanediyl bisoxy group or ethane-1,2-diylbisoxy group, and in addition in wherein a 5-membered cycloalkyl ring, can have an N or O ring member, and wherein ring member can be an N or an O, and in a 6- or 7-membered cycloalkyl ring, can have N and/or O, and wherein one or two ring members can be N and/or O, whereby which are each ring nitrogens optionally can be substituted with C₁₋₃ alkyl or C₁₋₃ alkanoyl,

 \mathbf{R}^{5} and $\mathbf{R}^{5'}$, independently of one another, mean C_{1-6} alkyl, C_{2-6} alkenyl, or C_{2-6} alkinyl, whereby wherein in each case a carbon atom can be exchanged for optionally replaced by O, S, SO, SO₂, NH, N C_{1-3} alkyl or N C_{1-3} alkanoyl,

 C_{3-7} cycloalkyl- C_{0-3} alkyl, whereby in a 5-membered cycloalkyl ring, acan optionally have an N or O ring membercan be an N or an O and a 6- or 7-membered cycloalkyl ring, ring can optionally have one or two ring members can be which are each N and/or O, whereby wherein ring nitrogens optionally can be substituted with C_{1-3} alkyl or C_{1-3} alkanoyl,

 C_{6-10} aryl or 5- to 10-membered heteroaryl with 1-4 heteroatoms from N, S, and O, whereby the mentioned alkyl, alkenyl and alkinyl chains can be substituted with one of the previously mentioned cycloalkyls, aryls or heteroaryls,

whereby all previously mentioned alkyl and cycloalkyl radicals with up to two substituents consisting of can be substituted with up to two substituents selected from CF₃, C₂F₅, OH, O C₁₋₃ alkyl, NH2, NH C₁₋₃ alkyl, NH C₁₋₃ alkanoyl, N (C₁₋₃ alkyl)₂, N(C₁₋₃ alkyl)(C₁₋₃ alkanoyl), COOH, CONH₂, and COO C₁₋₃ alkyl, and all previously mentioned aryl and heteroaryl groups can optionally be substituted with one or two substituents from the group that consists of selected from F, Cl, Br, CH₃, C₂H₅, NO₂, OCH₃, OC₂H₅, CF₃, C₂F₅ and C₂F₅, or else can carry an annelated methanediylbisoxy, ethane-1,2-diylbisoxy group,

or R^5 and $R^{5'}$ together with the nitrogen atom form a 5-to 7-membered heterocyclic

compound, group, which can optionally contain another oxygen, nitrogen or sulfur atom and can be optionally substituted with by C_{1-4} alkyl, C_{1-4} alkoxy- C_{0-2} alkyl, C_{1-4} alkoxy-carbonyl, aminocarbonyl or phenyl,

A means C_{1-10} alkanediyl, C_{2-10} alkenediyl, C_{2-10} alkinediyl, or $(C_{0-5}$ alkanediyl- C_{3-7} cycloalkanediyl- C_{0-5} alkanediyl), where by in a 5-membered cycloalkyl ring, a ring member can be an N or an O, and incan optionally have an N or O ring member, and a 6- or 7-membered cycloalkyl ring, can optionally have one or two ring members can be which are each N and/or or O, whereby ring nitrogens optionally can be substituted with C_{1-3} alkyl or C_{1-3} alkanoyl,

whereby in the above-mentioned aliphatic chains, a carbon atom or two carbon atoms can be optionally replaced by exchanged for O, NH, N C_{1-3} alkyl, N C_{1-3} alkanoyl, and whereby alkyl or cycloalkyl groups can be optionally substituted with up to two substituents selected from consisting of =O, OH, O C_{1-3} alkyl, NH2, NH C_{1-3} alkyl, NH C_{1-3} alkanoyl, N $(C_{1-3}$ alkyl)₂, and N(C_{1-3} alkyl)(C_{1-3} alkanoyl),

B means COOH, COOR⁵, CONH₂, CONHNH₂, CONHR⁵, CONR⁵R⁵, CONHOH, CONHOR⁵,

SO₃H, SO₂NH₂, SO₂NHR⁵, SO₂NR⁵R⁵, PO₃H, PO(OH)(OR⁵), PO(OR⁵)(OR⁵), PO(OH)(NHR⁵), PO(NHR⁵)(NHR⁵), <u>or</u>

in each case bonded to a carbon atom of group A, or the entire group Y-A-B is N(SO₂R⁴)(SO₂R⁴) or NHSO₂R⁴,

X means a bond, CH_2 , $(CH_2)_2$, $CH(CH_3)$, $(CH_2)_3$, $CH(CH_2CH_3)$, $CH(CH_3)CH_2$, or $CH_2CH(CH_3)$,

Y means O, NH, NR⁴, NCOR⁴, NSO₂R⁴, provided that if Y means NH, NR⁴, NCOR⁴ or NSO₂R⁴, and

a) substituent R² contains a nitrogen-containing, saturated heterocyclic group eompound, this heterocyclic group compound is not substituted in the imine nitrogen with H, methyl, ethyl, propyl or isopropyl,

or

tetrazolyl,

b) in optionally present groups XNHR⁴ or XNR⁴R⁴ of substituent R², R⁴ and/or

R4 does not mean C1-4 alkyl,

that B does not mean COOH, SO₃H, PO₃H₂ or tetrazolyl at the same time, and R¹ and R², independently of one another, mean C₅₋₆ heteroaryl or phenyl, if the latter, independently of one another, are unsubstituted, or are substituted simply with C₁₋₆ alkyl, C₁₋₄ perfluoroalkyl, O C₁₋₆ alkyl, O C₁₋₆ alkyl, COOH, COO C₁₋₆ alkyl, COOH₂, CONH₂, NO₂, NH₂, NHCOR⁴, NHSO₂R⁴, or with 1 or 2 halogen atoms from the groupthat consists of F, Cl, Br, and I, and

whereby the following compounds are excluded:

- [(1,2-Diphenyl-1H-benzimidazol-6-yl)oxy]acetic acid methyl ester,
- 5-[(1,2-diphenyl-1H-benzimidazol-6-yl)oxy]pentanoic acid methyl ester,
- 4-[(1,2-diphenyl-1H-benzimidazol-6-yl)oxy]butanoic acid ethyl ester,
- 5-[[1-(4-nitrophenyl)-2-phenyl-1H-benzimidazol-6-yl]oxy]-pentanoic acid methyl ester,
 - 6-[[1-(4-nitrophenyl)-2-phenyl-1H-benzimidazol-6-yl]oxy]hexanoic acid methyl ester,
- 5-[[1-(4-aminophenyl)-2-phenyl-1H-benzimidazol-6-yl]oxy]pentanoic acid methyl ester,
- 5-[[1-[4-[[(4-chlorophenyl)sulfonyl]amino]phenyl]-2-phenyl-1H-benzimidazol-6-yl]oxy]pentanoic acid methyl ester,
- 5-[[1-[4-[(acetyl)amino]phenyl]-2-phenyl-1H-benzimidazol-6-yl]oxy]pentanoic acid methyl ester
- 5-[[1-(3-nitrophenyl)-2-phenyl-1H-benzimidazol-6-yl]oxy]pentanoic acid methyl ester,
 - 6-[[1-(3-nitrophenyl)-2-phenyl-1H-benzimidazol-6-yl]oxy]hexanoic acid methyl ester,
- 5-[[1-(3-aminophenyl)-2-phenyl-1H-benzimidazol-6-yl]oxy]pentanoic acid methyl ester,
- 5-[[1-[3-[[(4-chlorophenyl)sulfonyl]amino]phenyl]-2-phenyl-1H-benzimidazol-6-yl]oxy]pentanoic acid methyl ester,
- 5-[[1-[3-[(acetyl)amino]phenyl]-2-phenyl-1H-benzimidazol-6-yl]oxy]pentanoic acid methyl ester.
 - 13. (Amended) Use of a compound according to claim 1 for the production of a A

process for preparing a pharmaceutical agent-composition for treating or preventing diseases that are associated with a microglia activation comprising combining a compound according to claim 1 with a pharmaceutical vehicle or diluent.

- 14. (Amended) <u>A pharmaceutical agent composition comprising one</u> or more compounds according to claim 1 and one or more vehicles <u>or diluents</u>.
- 15. (Amended) Use of A method for treating a patient suffering from a disease associated with microglia activation comprising administering to said patient an effective amount of a benzimidazole compound of general formula II

$$R^3$$
 R^2
 R^2
 R^1
(II)

in which

 R^1 means a monocyclic or bicyclic C_{6-12} aryl group or a monocyclic or bicyclic 5-to 10-membered heteroaryl group with 1-4 heteroatoms selected from the group that consists of N, S or and O, whereby the mentioned said aryl or heteroaryl group can be optionally substituted with up to three of the following substituents, independently of one another:

F, CI, Br, I, C(NH)NH₂, C(NH)NHR⁴, C(NH)NR⁴R⁴, C(NR⁴)NH₂,

C(NR⁴)NHR⁴, C(NR⁴)NR⁴R⁴, XOH, XOR⁴, XOCOR⁴, XOCONHR⁴,

XOCOOR⁴, XCOR⁴, XC(NOH)R⁴, XC(NOR⁴)R⁴, XC(NO(COR⁴))R⁴, XCN,

XCOOH, XCOOR⁴, XCONH₂, XCONR⁴R⁴, XCONHR⁴, XCONHOH,

XCONHOR⁴, XCOSR⁴, XSR⁴, XSOR⁴, XSO₂R⁴, SO₂NH₂, SO₂NHR⁴, SO₂NR⁴R^{4'}, NO₂, XNH₂, XNHR⁴, XNR⁴R^{4'}, XNHSO₂R⁴, XN(SO₂R⁴)(SO₂R^{4'}), XNR⁴SO₂R^{4'}, XNHCOR⁴, XNHCOOR⁴, XNHCONHR⁴, tetrahydro-2,5-dioxopyrrol-1-yl, and 2,5-dihydro-2,5-dioxopyrrol-1-yl, 2,7-dihydro-2,7-dioxoisoindol-1-yl, R⁴, whereby wherein two R¹ substituents at R⁴, if they are in ortho-position to one another, can optionally be linked to one another in such a way that they jointly form methanediylbisoxy, ethane-1,2-diylbisoxy, propane-1,3-diyl, or butane-1,4-diyl₅;

means a monocyclic or bicyclic C₆₋₁₀ aryl group or a monocyclic or bicyclic 5to 10-membered heteroaryl group with 1-4 heteroatoms selected from the
group that consists of N, S or and O, whereby the mentioned wherein said aryl
or heteroaryl group can be optionally substituted with up to three of the
following substituents, independently of one another:

F, Cl, Br, I, C(NH)NH₂, C(NH)NHR⁴, C(NH)NR⁴R⁴, C(NR⁴)NH₂,

C(NR⁴)NHR⁴, C(NR⁴)NR⁴R⁴, XOH, XOR⁴, XOCOR⁴, XOCONHR⁴,

XOCOOR⁴, XCOR⁴, XC(NOH)R⁴, XC(NOR⁴)R⁴, XC(NO(COR⁴))R⁴, XCN,

XCOOH, XCOOR⁴, XCONH₂, XCONR⁴R⁴, XCONHR⁴, XCONHOH,

XCONHOR⁴, XCOSR⁴, XSR⁴, XSOR⁴, XSO₂R⁴, SO₂NH₂, SO₂NHR⁴,

SO₂NR⁴R⁴, NO₂, XNH₂, XNHR⁴, XNR⁴R⁴, XNHSO₂R⁴, XN(SO₂R⁴)(SO₂R⁴),

XNR⁴SO₂R⁴, XNHCOR⁴, XNHCOOR⁴, XNHCONHR⁴, tetrahydro-2,5
dioxopyrrol-1-yl and, 2,5-dihydro-2,5-dioxopyrrol-1-yl, 2,7-dihydro-2,7
dioxoisoindol-1-yl, R⁴, wherein whereby two R² substituents at R³, if they are in ortho-position to one another, can be optionally linked to one another in such a way that they jointly form methanediyl-bisoxy, ethane-1,2-diylbisoxy,

propane-1,3-diyl, or butane-1,4-diyl,;

R³ stands for one or two substituents, which form are each, independently of one another:

hydrogen, F, Cl, Br, I, XOH, XOR⁴, XOCOR⁴, XOCONHR⁴, XOCOOR⁴, XCOR⁴, XC(NOH)R⁴, XC(NOR⁴)R⁴, XC(NO(COR⁴))R⁴, XCN, XCOOH, XCOOR⁴, XCONH₂, XCONHR⁴, XCONR⁴R⁴, XCONHOH, XCONHOR⁴, XCOSR⁴, XSR⁴, XSOR⁴, XSO₂R⁴, SO₂NH₂, SO₂NHR⁴, SO₂NR⁴R⁴, NO₂, XNH₂, XNHR⁴, XNR⁴R⁴, XNHSO₂R⁴, XNR⁴SO₂R⁴, XN(SO₂R⁴)(SO₂R⁴), XNHCOR⁴, XNHCOOR⁴, XNHCONHR⁴, tetrahydro-2,5-dioxopyrrol-1-yl, 2,5-dihydro-2,5-dioxopyrrol-1-yl, 2,7-dihydro-2,7-dioxoisoindol-1-yl, or R⁴, whereby wherein two substituents R³, if they are in ortho-position to one another, can be optionally linked to one another in such a way that they jointly form methanediylbisoxy, ethane-1,2-diylbisoxy, propane-1,3-diyl, or butane-1,4-diyl₅;

R⁴ and R^{4'}, independently of one another, mean C₁₋₄ perfluoroalkyl, C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkinyl, C₃₋₇ cycloalkyl, (C₁₋₃ alkyl-C₃₋₇ cycloalkyl), C₁₋₃ alkyl-C₆₋₁₀ aryl, C₁₋₃ alkyl 5 to 10-membered heteroaryl, with 1-4 N, S or O atoms, C₆₋₁₀ aryl, or 5- to 10-membered heteroaryl with 1-4 N, S or O atoms, wherebywherein the C₆₋₁₀ aryl and heteroaryl groups can be optionally substituted with one or two substituents selected from the group that consists of F, Cl, Br, CH₃, C₂H₅, NO₂, OCH₃, OC₂H₅, CF₃, and C₂F₅₃ or else can carry an annelated methanediylbisoxy group or ethane-1,2-diylbisoxy group, and in wherein a 5-membered cycloalkyl ring, a ring member can be optionally have an N or O ring member, and in wherein a 6- or 7-membered cycloalkyl ring

cycloalkyl ring can optionally have one or two ring members can be selected from N and/or O, whereby-wherein ring nitrogens optionally can be substituted with C_{1-3} alkyl or C_{1-3} alkanoyl,

R⁵ and R^{5'}, independently of one another, mean hydrogen, C₁₋₆ alkyl, C₂₋₆ alkenyl, C₂₋₆ alkinyl, whereby wherein in each case a carbon atom can be exchanged optionally replaced by O, S, SO, SO₂, NH, N C₁₋₃ alkyl or N C₁₋₃ alkanoyl, C₃₋₇ cycloalkyl-C₀₋₃ alkyl, wherein whereby in a 5-membered cycloalkyl ring, a ring member can be optionally have an N or an-O ring member and in-a 6- or 7-membered cycloalkyl ring can optionally have one or two ring members can be selected from N and/or O, whereby wherein ring nitrogens optionally can be substituted with C₁₋₃ alkyl or C₁₋₃ alkanoyl,

 C_{6-10} aryl or 5- to 10-membered heteroaryl with 1-4 heteroatoms selected from N, S, and O, whereby the mentioned alkyl, alkenyl and alkinyl chains can be substituted with one of the previously mentioned cycloalkyls, aryls or heteroaryls,

whereby all previously mentioned alkyl and cycloalkyl radicals can <u>optionally</u> <u>be substituted</u> with up to two substituents <u>selected from consisting of CF3</u>, C₂F₅, OH, O C₁₋₃ alkyl, NH2, NH C₁₋₃ alkyl, NH C₁₋₃ alkanoyl, N (C₁₋₃ alkyl)₂, N(C₁₋₃ alkyl)(C₁₋₃ alkanoyl), COOH, CONH₂, <u>and COO C₁₋₃ alkyl</u>, and all previously mentioned aryl and heteroaryl groups can be <u>optionally</u> substituted with one or two substituents <u>selected from the group that consists of F</u>, Cl, Br, CH₃, C₂H₅, NO₂, OCH₃, OC₂H₅, CF₃, <u>and C₂F₅</u> or else can carry an annelated methanediylbisoxy, ethane-1,2-diylbisoxy group, or

 R^5 and $R^{5'}$ together with the nitrogen atom form a 5-to 7-membered

heterocyclic group compound, which can optionally contain another oxygen, nitrogen or sulfur atom and can be optionally substituted with by C₁₋₄ alkyl, C₁₋₄ alkoxy-C₀₋₂ alkyl, C₁₋₄ alkoxy-carbonyl, aminocarbonyl or phenyl,

A means C_{1-10} alkanediyl, C_{2-10} alkenediyl, C_{2-10} alkinediyl, $(C_{0-5}$ alkanediyl- C_{3-7} cycloalkanediyl- C_{0-5} alkanediyl), $(C_{0-5}$ alkanediylarylene- C_{0-5} alkanediyl), or $(C_{0-5}$ alkanediyl-heteroarylene- C_{0-5} alkanediyl),

wherein whereby the aryl and heteroaryl groups can optionally be substituted with one or two substituents that consist of selected from F, Cl, Br, CH₃, C₂H₅, NO₂, OCH₃, OC₂H₅, CF₃, and C₂F₅, whereby in wherein a 5-membered cycloalkyl ring can optionally have a ring member can be an selected from N and O, and in a 6- or 7-membered cycloalkyl ring can optionally have one or two ring members can be selected from N and/or O, wherein ring nitrogens optionally can be substituted with C₁₋₃ alkyl or C₁₋₃ alkanoyl,

whereby wherein the mentioned aliphatic chains, a carbon atom one or two carbon atoms can each optionally be exchanged for replaced by for O, NH, NR⁴, NCOR⁴, or NSO₂R⁴,

and wherein alkyl or cycloalkyl groups can be substituted with up to two substituents consisting of selected from F, OH, OR^4 , $OCOR^4$, =O, NH_2 , NR^4R^4 , $NHCOR^4$, $NHCOOR^4$, $NHCONHR^4$, $NHSO_2R^4$ SH, and SR^4 ,

means hydrogen, OH, OCOR⁵, OCONHR⁵, OCOOR⁵, COR⁵, C(NOH)R⁵, C(NOR⁵)R⁵',

В

C(NO(COR⁵))R^{5'}, COOH, COOR⁵, CONH₂, CONHNH₂, CONHR⁵, CONR⁵R^{5'},

CONHOH, CONHOR⁵, SO₃H, SO₂NH₂, SO₂NHR⁵, SO₂NR⁵R⁵,

or the entire group Y-A-B is N(SO₂R⁴)(SO₂R⁴) or NHSO₂R⁴,

- x means a bond, CH₂, (CH₂)₂, CH(CH₃), (CH₂)₃, CH(CH₂CH₃), CH(CH₃)CH₂,
 or CH₂CH(CH₃),
- Y means a bond, O, S, SO, SO₂, NH, NR⁴, NCOR⁴, NSO₂R⁴.

for the production of a pharmaceutical agent for treating or preventing diseases that are associated with a microglia activation.

16. (Amended) Use A method according to claim 15, whereby in general formula II wherein

R¹ means a monocyclic or bicyclic aryl group or a monocyclic or bicyclic 5- to 10-membered heteroaryl group with 1-2 heteroatoms selected from the group that consists of N, S and or O, whereby wherein said the mentioned aryl or heteroaryl group can be optionally substituted with up to three of the following substituents, independently of one another:

F, Cl, Br,

XOH, XOR⁴, XOCOR⁴, XOCONHR⁴, XOCOOR⁴, XCOR⁴, XCN, XCOOH, XCOOR⁴, XCONH₂, XCONR⁴R^{4'}, XCONHR⁴, XCONHOH, XCONHOR⁴, XCOSR⁴, XSR⁴, NO₂, XNHR⁴, XNR⁴R^{4'}, and

 R^4 ,

whereby wherein two \mathbb{R}^1 substituents at \mathbb{R}^4 , if they are in ortho-position to one another, can be linked to one another in such a way that they jointly form methanediylbisoxy, ethane-1,2-diylbisoxy, propane-1,3-diyl, butane-1,4-diyl.

17. (Amended) <u>Use_Amethod</u> according to claim 15, whereby in general formula H,wherein

R² means a monocyclic or bicyclic aryl group or a monocyclic or bicyclic 5- to
10-membered heteroaryl group with 1-2 heteroatoms selected from the group
that consists of N, S or and O, whereby the mentioned wherein said aryl group

10-membered heteroaryl group with 1-2 heteroatoms selected from the group that consists of N, S or and O, whereby the mentioned wherein said aryl group or heteroaryl group can be optionally substituted with up to three of the following substituents, independently of one another:

F, Cl, Br, XOH, XOR⁴, XOCOR⁴, XOCONHR⁴, XOCOOR⁴, XCOR⁴, XC(NOH)R⁴,

XC(NOR⁴)R^{4'}, XC(NO(COR⁴))R^{4'}, XCN, XCOOH, XCOOR⁴, XCONH₂, XCONR⁴R^{4'},

XCONHR⁴, XCONHOH, XCONHOR⁴, XCOSR⁴, XSR⁴, XSOR⁴, XSO₂R⁴, SO₂NH₂, SO₂NHR⁴, SO₂NR⁴R⁴, NO₂, XNH₂, XNHR⁴, XNR⁴R⁴, XNHSO₂R⁴, XN(SO₂R⁴)(SO₂R⁴), XNR⁴SO₂R⁴, XNHCOR⁴, XNHCOR⁴, XNHCONHR⁴, R⁴,

whereby two \mathbf{R}^2 substituents, at \mathbf{R}^2 if they are in ortho-position to one another, can be optionally linked to one another in such a way that they jointly form methanediylbisoxy, ethane-1,2-diylbisoxy, propane-1,3-diyl or, butane-1,4-diyl.

- 18. (Amended) Use A method according to claim 15, wherein whereby in general formula II
 - ${\bf R^3}$ stands for one or two substituents, which independently of one another, <u>each</u> mean:

hydrogen,

F, Cl, Br, XOH, XOR⁴, XOCOR⁴, XOCONHR⁴,

XOCOOR⁴, XCOR⁴, XC(NOH)R⁴, XC(NOR⁴)R⁴, XC(NO(COR⁴))R⁴, XCN, XSR⁴, XSOR⁴, XSO₂R⁴, SO₂NH₂, SO₂NHR⁴, SO₂NR⁴R⁴, NO₂, XNH₂, XNHR⁴, XNR⁴R⁴, XNHSO₂R⁴, XNR⁴SO₂R⁴, XN(SO₂R⁴)(SO₂R⁴), XNHCOR⁴, XNHCOOR⁴, XNHCONHR⁴, or R⁴, wherein wherebytwo substituents **R**³, if they are in ortho-position to one another, can be linked to one another in such a way that they jointly form methanediylbisoxy, ethane-1,2-diylbisoxy, propane-1,3-diyl or, butane-1,4-diyl.

- R⁴ and R⁴, independently of one another, mean CF₃, C₂F₅, C₁₋₄ alkyl, C₂₋₄ alkenyl, C₂₋₄ alkinyl, C₃₋₆ cycloalkyl, (C₁₋₃ alkyl-C₃₋₆ cycloalkyl), C₁₋₃ alkylaryl, C₁₋₃ alkylheteroaryl, monocyclic aryl or 5- to 6-membered heteroaryl with 1-2 N, S or O atoms, wherein said whereby the aryl and heteroaryl groups can be optionally substituted with one or two substituents selected from the group that consists of F, Cl, Br, CH₃, C₂H₅, NO₂, OCH₃, OC₂H₅, CF₃ and, C₂F₅, or else can carry an annelated methanediylbisoxy or ethane-1,2-diylbisoxy group, and in addition in a 5-membered cycloalkyl ring can optionally have, a ring member can be an selected from N or an and O, in and a 6-membered cycloalkyl ring can optionally have, one or two ring members selected from can be N and/or O, wherein whereby ring nitrogens optionally can be substituted with C₁₋₃ alkyl or C₁₋₃ alkanoyl.
- 20. (Amended) Use-A method according to claim 15, wherein whereby in general formula II
 - R⁵ and R^{5'}, independently of one another, can be C₁₋₆ alkyl₇ wherein a carbon atom can optionally be exchanged for replaced by O, NH, N C₁₋₃ alkyl, N C₁₋₃ alkanoyl or, C₃₋₇ cycloalkyl-C₀₋₃ alkyl, whereby in wherein a 5-membered cycloalkyl ring₇ can optionally have a ring member can be an N or and an O, and in a 6- or 7-membered cycloalkyl ring₇ can optionally have one or two ring members can be selected from N and/or O, whereby-wherein ring nitrogens optionally can be substituted with C₁₋₃ alkyl or C₁₋₃ alkanoyl, wherein whereby the mentioned C₁₋₆ alkyl part can optionally be substituted with one of the previously mentioned cycloalkyls or else a 5- to 6-membered heteroaromatic group compound with 1-2 heteroatoms, selected from the group that consist of N, S and or O,

wherein whereby all previously mentioned alkyl and cycloalkyl parts can be substituted with up to two substituents selected from that consists of CF₃, OH, and O C₁₋₃ alkyl, and the previously mentioned heteroaryl groups can optionally be substituted with one or two substituents selected from can consist of F, Cl, CF₃, CH₃, C₂H₅, OCH₃ and OC₂H₅,

or R⁵ and R⁵ together with the nitrogen atom form a 5- to 7-membered heterocyclic group compound which optionally contains can contain another oxygen, nitrogen or sulfur atom and can be is optionally substituted by with C₁₋₄ alkyl, C₁₋₄ alkoxy-C₀₋₂ alkyl, C₁₋₄ alkoxy-carbonyl, aminocarbonyl or phenyl.

- 21. (Amended) Use A method according to claim 15, wherein whereby in general formula II
 - means C₁₋₁₀ alkanediyl, C₂₋₁₀ alkenediyl, C₂₋₁₀ alkinediyl, (C₀₋₅ alkanediyl-C₃₋₇ cycloalkanediyl-C₀₋₅ alkanediyl), or (C₀₋₅ alkanediyl-heteroarylene-C₀₋₅ alkanediyl), wherein whereby an optionally present if a heteroaryl group ean be is present it is optionally substituted with one or two substituents that eonsists of selected from F, Cl, Br, CH₃, C₂H₅, NO₂, OCH₃, OC₂H₅, CF₃ and, C₂F₅, and in addition in-a 5-membered cycloalkyl ring, can optionally have a ring member ean be an selected from N or an and O, and in- a 6- or 7-membered cycloalkyl ring can optionally have one or two ring members can be selected from N and/or O, whereby wherein ring nitrogens optionally can be substituted with C₁₋₃ alkyl or C₁₋₃ alkanoyl,

wherein whereby in an aliphatic chains, a carbon atom one or two carbon atoms can be replaced by exchanged for O, NH, N C_{1-3} alkyl, N C_{1-3} alkanoyl, or NSO₂ C_{1-3} alkyl,

and whereby alkyl or cycloalkyl parts can be <u>optionally</u> substituted with up to two F atoms or one of the by the substituents <u>selected from that consists of OH, O C₁₋₃ alkyl, O C₁₋₃ alkanoyl, =O, NH₂, NH C₁₋₃ alkyl, N (C₁₋₃ alkyl)₂, NH C₁₋₃ alkanoyl, N (C₁₋₃ alkyl) (C₁₋₃ alkanoyl), NHCOO C₁₋₃ alkyl, NHCONH C₁₋₃ alkyl, NHSO₂ C₁₋₃ alkyl, SH <u>and</u>, S C₁₋₃ alkyl.</u>

- 22. (Amended) Use A method according to claim 15, wherein whereby in general formula II
 - B means hydrogen, OH, OCOR⁵, OCONHR⁵, OCOOR⁵, COOH, COOR⁵, CONH₂, CONHR⁵, CONR⁵R⁵, CONHOH, CONHOR⁵, or

tetrazolyl, in each case bonded to a carbon atom of group A.

- 23. (Amended) Use-A method according to claim 15, wherein whereby in general formula II
 - X means a bond or CH₂.
- 24. (Amended) Use A method according to claim 15, wherein whereby in general formula II
- Y means a bond, O, S, NH, NR⁴, NCOR⁴ or NSO₂R⁴